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DATE: Tuesday, November 20, 2007

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☐	L1	(514/321.ccls. or 546/197.ccls.) and (paroxetine adj hydrochloride)	44

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tartaric acid

FILE COVERS 1907 - 13 Nov 2007 VOL 147 ISS 21
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=> s l8 and l9
            13 L8
            58 L9
L10          4 L8 AND L9

=> d bib abs hitstr 1-4

L10  ANSWER 1 OF 4  CAPLUS  COPYRIGHT 2007 ACS on STN
AN   2004:267324  CAPLUS
DN   140:287369
TI   Process for producing paroxetine hydrochloride hydrate
IN   Yamazaki, Shigeya; Yoshikawa, Taichi
PA   Sumika Fine Chemicals Co., Ltd., Japan
SO   PCT Int. Appl., 18 pp.
     CODEN: PIXXD2
DT   Patent
LA   Japanese
FAN.CNT 2
     PATENT NO.          KIND   DATE        APPLICATION NO.         DATE
     --------------      ----   --------    --------------------    --------
PI   WO 2004026861        A1    20040401    WO 2003-JP11806         20030917
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,
             LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG,
             PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR,
             TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     CA 2496727            A1    20040401    CA 2003-2496727         20030917
     AU 2003271056         A1    20040408    AU 2003-271056          20030917
     EP 1555263            A1    20050720    EP 2003-751271          20030917
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
     BR 2003014596         A     20050809    BR 2003-14596           20030917
     US 2006041138         A1    20060223    US 2005-527337          20050310
PRAI JP 2002-273901        A     20020919
     JP 2002-288640        A     20021001
     WO 2003-JP11806       W     20030917
AB   This document discloses a process for producing paroxetine hydrochloride
     hydrate (I), which comprises reacting (3S,4R)-1-tert-butoxycarbonyl-4-(4-
     fluorophenyl)-3-[(3,4-methylenedioxy)phenoxymethyl]piperidine with
     hydrogen chloride in the presence of water and then precipitating crystals in
the
     presence of water.  Also claimed is a pharmaceutical composition containing I
for
     treatment of a variety of mental disorders.
IT   200572-35-6
     RL: RCT (Reactant); RACT (Reactant or reagent)
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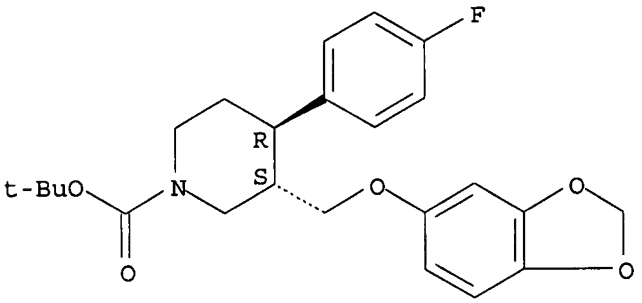
tartaric acid

(process for producing paroxetine hydrochloride hydrate via hydrolysis of BOC-paroxetine and crystallization in presence of water)

RN 200572-35-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester, (3S,4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT 110429-35-1P

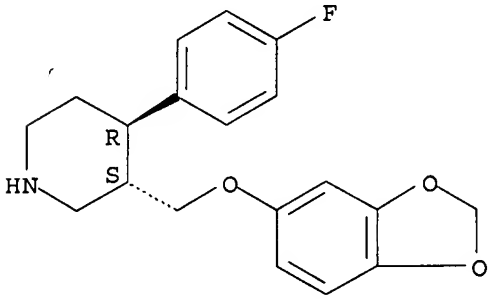
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(process for producing paroxetine hydrochloride hydrate via hydrolysis of BOC-paroxetine and crystallization in water)

RN 110429-35-1 CAPLUS

CN Piperidine, 3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)-, hydrochloride, hydrate (2:2:1), (3S,4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



● HCl

● 1/2 H_2O

RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:267323 CAPLUS

DN 140:309367

TI Preparation of paroxetine hydrochloride hemihydrate crystals

tartaric acid

IN Yamazaki, Shigeya; Yoshikawa, Taichi
PA Sumika Fine Chemicals Co., Ltd., Japan
SO PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004026860	A1	20040401	WO 2003-JP11805	20030917
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2496726	A1	20040401	CA 2003-2496726	20030917
	AU 2003271055	A1	20040408	AU 2003-271055	20030917
	EP 1555262	A1	20050720	EP 2003-751270	20030917
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003014848	A	20050802	BR 2003-14848	20030917
	US 2006048696	A1	20060309	US 2005-527317	20050310
PRAI	JP 2002-273901	A	20020919		
	WO 2003-JP11805	W	20030917		

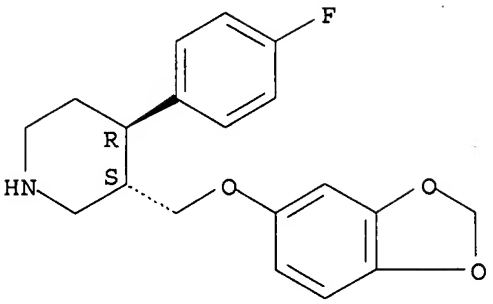
AB This document discloses a method of precipitating crystals of paroxetine hydrochloride 1/2-hydrate in a water-containing polar organic solvent, which comprises adding water to a solution or suspension of paroxetine hydrochloride in either a water-free polar organic solvent or a solvent containing up to 60 weight% water to regulate the water content to 70 weight% or higher and thereby precipitate crystals of paroxetine hydrochloride 1/2-hydrate.

Paroxetine hydrochloride hemihydrate is a known antidepressant. Also provided is a method of precipitating crystals of paroxetine hydrochloride 1/2-hydrate in water or a water-containing polar organic solvent, which comprises causing hydrogen chloride to be present in the system to thereby precipitate crystals of paroxetine hydrochloride 1/2-hydrate which have not been colored in pink.

IT 110429-35-1P, Paroxetine hydrochloride hemihydrate
RL: CPS (Chemical process); PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PRP (Properties); PUR (Purification or recovery); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(preparation of paroxetine hydrochloride hemihydrate crystals)
RN 110429-35-1 CAPLUS
CN Piperidine, 3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)-, hydrochloride, hydrate (2:2:1), (3S,4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

tartaric acid

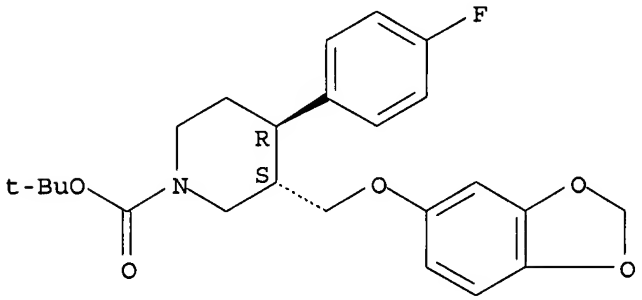


● HCl

● 1/2 H_2O

IT 200572-35-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of paroxetine hydrochloride hemihydrate crystals)
RN 200572-35-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester, (3S,4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2001:366096 CAPLUS
DN 134:366864
TI Simple preparation of anhydrous paroxetine hydrochloride 2-propanol solvate
IN Iki, Masaki; Yamazaki, Shigeya; Ishibashi, Taro; Kawata, Yoshihiro; Yumoto, Hiroyuki; Yoshikawa, Taichi
PA Sumika Fine Chemicals Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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tartaric acid

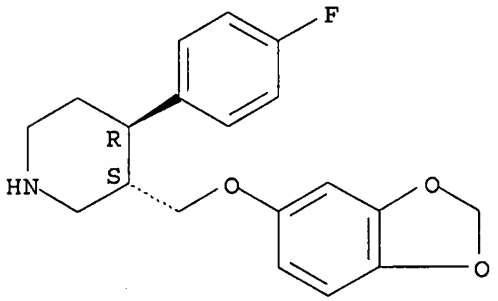
PI JP 2001139572 A 20010522 JP 1999-326619 19991117
PRAI JP 1999-326619 19991117

AB The title solvate (I), useful as an intermediate for antidepressant anhydrous paroxetine hydrochloride, etc., is prepared by dissolving paroxetine hydrochloride (II.HCl) in 2-propanol (III) which substantially contains H2O and crystallizing from the solution or by mixing II or N-tert-butoxycarbonylparoxetine with III solution of HCl which substantially contains H2O and crystallizing from the mixture II.HCl.2/1H2O (20.0 g) was dissolved in 220 mL III containing 0.10% H2O upon heating at 80°, and the solution was kept at 55-60° for 30 min and then cooled to 2-5° under stirring for 30 min to give 19.8 g I.

IT 110429-35-1, Paroxetine hydrochloride hemihydrate
200572-35-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of anhydrous paroxetine hydrochloride 2-propanol solvate from paroxetine, its hydrochloride, or N-tert-butoxycarbonyl derivative upon crystallization)

RN 110429-35-1 CAPLUS
CN Piperidine, 3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)-, hydrochloride, hydrate (2:2:1), (3S,4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

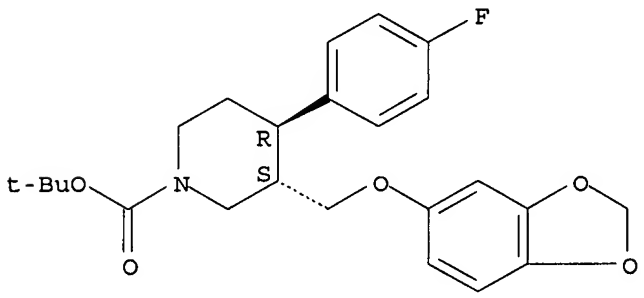


● HCl

●1/2 H_2O

RN 200572-35-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester, (3S,4R)- (CA INDEX NAME)

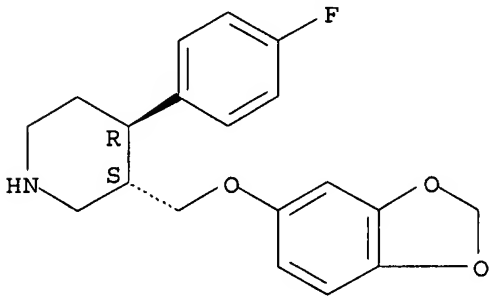
Absolute stereochemistry. Rotation (-).



tartaric acid

L10 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2000:240402 CAPLUS
DN 133:4631
TI Improved synthesis of paroxetine hydrochloride propan-2-ol solvate through one of metabolites in humans, and characterization of the solvate crystals
AU Sugi, Kiyoshi; Itaya, Nobushige; Katsura, Tadashi; Igi, Masami; Yamazaki, Shigeya; Ishibashi, Taro; Yamaoka, Teiji; Kawada, Yoshihiro; Tagami, Yayoi; Otsuki, Michiya; Ohshima, Takao
CS Central Research Laboratories, Sumika Fine Chemicals Co., Ltd., Osaka, 555-0021, Japan
SO Chemical & Pharmaceutical Bulletin (2000), 48(4), 529-536
CODEN: CPBTAL; ISSN: 0009-2363
PB Pharmaceutical Society of Japan
DT Journal
LA English
OS CASREACT 133:4631
AB Paroxetine, a potent and selective inhibitor of 5-hydroxytryptamine (serotonin) uptake, was prepared through a piperidine derivative, which was reported to be one of the paroxetine metabolites in humans. Thus, the piperidine derivative was converted to its N-tert-butoxycarbonyl (N-Boc) derivative, which was then converted to N-Boc paroxetine. Paroxetine hydrochloride propan-2-ol (iso-Pr alc. (IPA)) solvate crystals were directly obtained from the N-Boc paroxetine by adding hydrogen chloride to the N-Boc paroxetine IPA solution The amount of IPA content in the crystals was reduced by drying with a continuous change of powder X-ray diffraction patterns. Other characterizations of the solvate crystals were also conducted.
IT 110429-35-1P, Paroxetine hydrochloride hemihydrate
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation of paroxetine hydrochloride propanol solvate via human metabolite intermediate and characterization of solvate crystals)
RN 110429-35-1 CAPLUS
CN Piperidine, 3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)-, hydrochloride, hydrate (2:2:1), (3S,4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



● HCl

● 1/2 H_2O

IT 200572-35-6P, (3S,4R)-3-[(1,3-Benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)-1-piperidinecarboxylic acid 1,1-dimethylethyl ester